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NUCLEOPHILIC ADDITION OF INDOLES TO CARBORANCARBOXALDEHYDE – A CONVINIENT SYNTHETIC STRATEGY TOWARDS NOVEL BORON-ENRICHED 3-INDOLYLMETHANOLS

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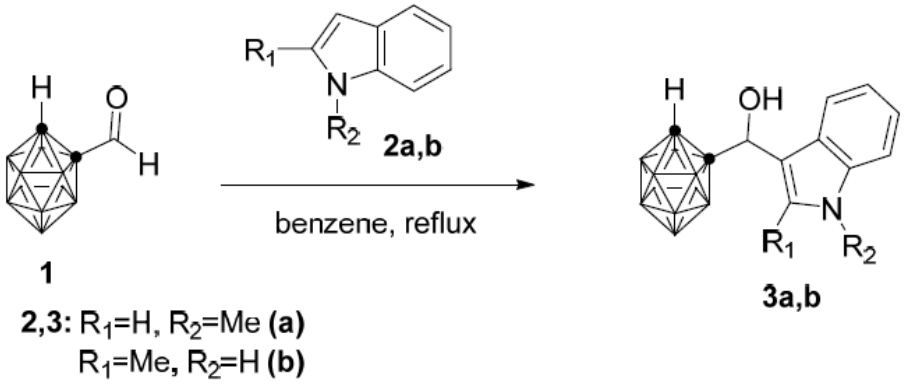
Abstract. Carboranes are a special class of organoboron compounds that can be regarded as nonclassical three-dimensional aromatic polyhedral.[1] The enhanced interest to the functional derivatives of carboranes, especially to azaheterocyclic ones, is mainly due to wide possibilities of their practical applications, particularly as advanced photoluminescent materials, efficient catalytic reagents, perspective agents for boron neutron capture therapy. In the series of known heterocyclic boron clusters, carboranyl-containing indole derivatives are of special interest in medical chemistry because indole and its derivatives are considered to be one of the most promising biogenic scaffolds to construct various molecules that are perspective in medical chemistry.[2]



Scheme 1. Nucleophilic addition of indoles **2** to carborancarboxaldehyde **1**

In order to synthesize novel boron-enriched indolyl derivatives, the well-established methodology of nucleophilic addition of azoles, in particular, pyrroles, to carborancarboxaldehyde has been used as a basic synthetic approach.[3] It has been found that carboranylaldehyde **1** is involved into the non-catalyzed by transition metals nucleophilic addition reaction of indoles **2** bearing nucleophilic center in C(3) position. Refluxing the reaction mixture in dry benzene has been found as optimal reaction conditions leading to C-C coupling products, carborane-containing 3-indolylmathanols **3a,b**, in 23–56% yields (scheme 1).

References

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